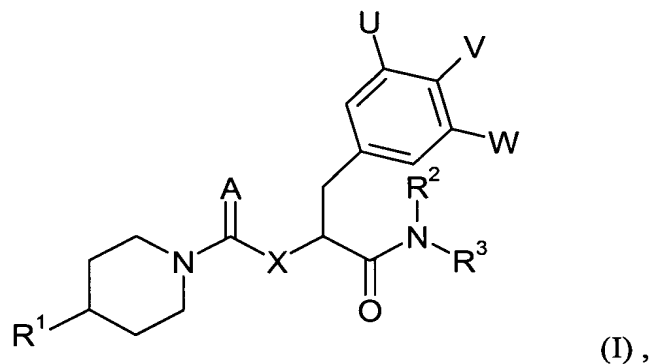


What is claimed is:

1. A compound of the formula (I)

5



wherein

A denotes an oxygen or sulphur atom, a phenylsulphonylimino or cyanimino group,

10

X denotes an oxygen or sulphur atom, an imino group optionally substituted by a C₁₋₆-alkyl group or a methylene group optionally substituted by a C₁₋₆-alkyl group,

Y and Z independently of one another each denote a straight-chain or branched C₁₋₆-alkyl group wherein each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms,

15

while the above-mentioned alkyl groups together with the carbon atoms to which they are bound may be joined to one another, forming a 4- to 8-membered ring,

20

R¹ denotes a saturated, mono- or diunsaturated 5- to 7-membered aza, diaza, triaza, oxaza, thiaza, thiadiazia or *S,S*-dioxido-thiadiazia heterocyclic group,

in which the above-mentioned heterocycles are linked via a carbon or nitrogen atom,

25

contain one or two carbonyl or thiocarbonyl groups adjacent to a nitrogen atom,

may be substituted at one of the nitrogen atoms by an alkyl group,

5 may be substituted at one or at two carbon atoms by an alkyl group, by a phenyl, phenylmethyl, naphthyl, biphenyl, pyridinyl, diazinyl, furyl, thienyl, pyrrolyl, 1,3-oxazolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl, 1-methylpyrazolyl, imidazolyl or 1-methylimidazolyl group, while the substituents may be identical or different, and

10 while an olefinic double bond of one of the above-mentioned unsaturated heterocycles may be fused to a phenyl, naphthyl, pyridine, diazine, 1,3-oxazole, thienyl, furan, thiazole, pyrrole, *N*-methylpyrrole or quinoline ring, to a 1*H*-quinolin-2-one ring optionally substituted at the nitrogen atom by an alkyl group or to an imidazole or *N*-methylimidazole ring or also two olefinic double bonds of
15 one of the above-mentioned unsaturated heterocycles may each be fused to a phenyl ring,

while the phenyl, pyridinyl, diazinyl, furyl, thienyl, pyrrolyl, 1,3-oxazolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl, 1-methylpyrazolyl, imidazolyl or 1-
20 methylimidazolyl groups contained in R¹ as well as benzo-, thieno-, pyrido- and diazino-fused heterocycles in the carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by alkyl, alkoxy, nitro, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylsulphonylamino, phenyl, difluoromethyl, trifluoromethyl, alkoxycarbonyl, carboxy, hydroxy, amino,
25 alkylamino, dialkylamino, acetyl, acetylamino, propionylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, (4-morpholinyl)carbonyl, (1-pyrrolidinyl)carbonyl, (1-piperidinyl)carbonyl, (hexahydro-1-azepinyl)carbonyl, (4-methyl-1-piperazinyl)carbonyl, methylenedioxy, aminocarbonylamino, alkanoyl, cyano, difluoromethoxy, trifluoromethoxy, trifluoromethylthio,
30 trifluoromethylsulphinyl or trifluoromethylsulphonyl groups, while the substituents may be identical or different,

R^2 denotes the hydrogen atom,

a phenylmethyl group or a C_{2-7} -alkyl group which may be substituted in the ω position by
5 a cyclohexyl, phenyl, pyridinyl, diazinyl, hydroxy, amino, alkylamino, dialkylamino,
carboxy, alkoxycarbonyl, aminocarbonyl, aminocarbonylamino, acetylamino,
1-pyrrolidinyl, 1-piperidinyl, 4-(1-piperidinyl)-1-piperidinyl, 4-morpholinyl, hexahydro-
1*H*-1-azepinyl, [bis-(2-hydroxyethyl)]amino, 4-alkyl-1-piperazinyl or 4-(ω -hydroxy-
 C_{2-7} -alkyl)-1-piperazinyl group,

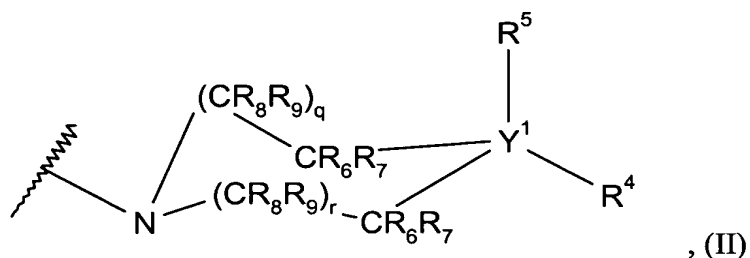
10 a phenyl or pyridinyl group,

while the above-mentioned heterocyclic groups and phenyl groups may additionally
be mono- di- or trisubstituted in the carbon skeleton by fluorine, chlorine, bromine or
15 iodine atoms, by methyl, alkoxy, difluoromethyl, trifluoromethyl, hydroxy, amino,
 C_{1-3} -alkylamino, di-(C_{1-3} -alkyl)-amino, acetylamino, aminocarbonyl, cyano,
methylsulphonyloxy, difluoromethoxy, trifluoromethoxy, trifluoromethylthio,
trifluoromethylsulphinyl, trifluoromethylsulphonyl, amino- C_{1-3} -alkyl,
 C_{1-3} -alkylamino- C_{1-3} -alkyl or di-(C_{1-3} -alkyl)-amino- C_{1-3} -alkyl groups and the
20 substituents may be identical or different,

R^3 denotes the hydrogen atom or a C_{1-3} -alkyl group optionally substituted by a phenyl or
pyridinyl group,

25 while the C_{1-3} -alkyl group may be linked to an alkyl group present in R^2 or a phenyl
or pyridyl ring present in R^2 and the nitrogen atom to which they are bound, forming
a ring, or

R^2 and R^3 together with the enclosed nitrogen atom denote a group of general formula



wherein

5 Y^1 denotes the carbon atom or, if R^5 is a pair of free electrons, it may also denote the nitrogen atom,

q and r, if Y^1 denotes the carbon atom, represent the numbers 0, 1 or 2, or

10 q and r, if Y^1 denotes the nitrogen atom, represent the numbers 1 or 2,

R^4 denotes the hydrogen atom, an amino, alkylamino, dialkylamino, alkyl, cycloalkyl, amino- C_{2-7} -alkyl, alkylamino- C_{2-7} -alkyl, dialkylamino- C_{2-7} -alkyl, aminoiminomethyl, aminocarbonylamino, alkylaminocarbonylamino, cycloalkylaminocarbonylamino, phenylaminocarbonylamino, aminocarbonylalkyl, aminocarbonyl-

15 aminoalkyl, alkoxycarbonyl, alkoxycarbonylalkyl or carboxyalkyl group,

or, if Y^1 does not denote the nitrogen atom, the carboxy, aminomethyl, alkylaminomethyl or dialkylaminomethyl group,

20 a phenyl, pyridinyl, diazinyl, 1-naphthyl, 2-naphthyl, pyridinylcarbonyl or phenylcarbonyl group which may each be mono-, di- or trisubstituted in the carbon skeleton by fluorine, chlorine, bromine or iodine atoms, by alkyl, alkoxy, methylsulphonyloxy, difluoromethyl, trifluoromethyl, hydroxy, amino, acetylamino, aminocarbonyl, aminocarbonylamino, aminocarbonylaminomethyl, cyano, carboxy,

25 alkoxycarbonyl, carboxyalkyl, alkoxycarbonylalkyl, alkanoyl, ω -(dialkylamino)alkanoyl, ω -(dialkylamino)alkyl, ω -(dialkylamino)hydroxyalkyl,

ω -(carboxy)alkanoyl, difluoromethoxy, trifluoromethoxy, trifluoromethylthio, trifluoromethylsulphinyl or trifluoromethylsulphonyl groups, while the substituents may be identical or different,

5 a 4- to 10-membered azacycloalkyl group, a 6- to 10-membered oxaza, thiaza or diazacycloalkyl group, a 6- to 10-membered azabicycloalkyl group, a 1-alkyl-4-piperidinylcarbonyl or 4-alkyl-1-piperazinylcarbonyl group,

10 while the above-mentioned mono- and bicyclic heterocycles are bound via a nitrogen or carbon atom,

in the above-mentioned mono- and bicyclic heterocycles any methylene group not directly bound to a nitrogen, oxygen or sulphur atom may be substituted by one or two fluorine atoms,

15 the above-mentioned mono- and bicyclic heterocycles as well as the 1-alkyl-4-piperidinylcarbonyl- and 4-alkyl-1-piperazinylcarbonyl group in the ring may be mono- or polysubstituted by a C₁₋₇-alkyl group, monosubstituted by a phenyl-C₁₋₃-alkyl, alkanoyl, dialkylamino, phenylcarbonyl, pyridinylcarbonyl, carboxy, 20 carboxyalkanoyl, carboxyalkyl, alkoxycarbonylalkyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, alkylsulphonyl, cycloalkyl or cycloalkylalkyl group, or substituted by a cycloalkylcarbonyl, azacycloalkyl-carbonyl, diazacycloalkylcarbonyl or oxazacycloalkylcarbonyl group optionally alkyl-substituted in the ring,

25 while the alicyclic moieties contained in these substituents each comprise 3 to 10 ring members and the heteroalicyclic moieties each comprise 4 to 10 ring members and

30 the phenyl and pyridinyl groups contained in the above-mentioned groups may in turn be mono-, di- or trisubstituted by fluorine, chlorine, bromine or

5 iodine atoms, by alkyl, alkoxy, methylsulphonyloxy, difluoromethyl, trifluoromethyl, hydroxy, amino, acetylamino, aminocarbonyl, aminocarbonylamino, aminocarbonylaminomethyl, cyano, carboxy, alkoxycarbonyl, carboxyalkyl, alkoxycarbonylalkyl, alkanoyl, ω - (dialkylamino)alkanoyl, ω -(carboxy)alkanoyl, difluoromethoxy, trifluoromethoxy, trifluoromethylthio, trifluoromethylsulphinyl or trifluoromethylsulphonyl groups, while the substituents may be identical or different,

10 R^5 denotes a hydrogen atom,

a C_{1-4} -alkyl group, while an unbranched alkyl group may be substituted in the ω position by a phenyl, pyridinyl, diazinyl, amino, alkylamino, dialkylamino, 1-pyrrolidinyl, 1-piperidinyl, 4-methyl-1-piperazinyl, 4-morpholinyl or hexahydro-1*H*-1-azepinyl group,

an alkoxycarbonyl, the cyano or aminocarbonyl group or also, if Y^1 denotes a nitrogen atom, a pair of free electrons,

20 or, if Y^1 does not denote a nitrogen atom, also the fluorine atom, or

R^4 together with R^5 and Y^1 denote a 4- to 7-membered cycloaliphatic ring, in which a methylene group may be replaced by a -NH or -N(alkyl)- group

25 while a hydrogen atom bound to a nitrogen atom within the above-mentioned group R^4 may be replaced by a protecting group,

R^6 and R^7 , which may be identical or different, in each case denote a hydrogen atom, a C_{1-3} -alkyl or dialkylamino group or also, if Y^1 does not denote a nitrogen atom, the fluorine atom and

30

R⁸ and R⁹, which may be identical or different, each denote a hydrogen atom or a C₁₋₃-alkyl group,

5 while, unless otherwise stated, all the above-mentioned alkyl and alkoxy groups as well as the alkyl groups present within the other groups specified comprise 1 to 7 carbon atoms and may be straight-chain or branched, while each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms,

10 all the above-mentioned cycloalkyl groups as well as the cycloalkyl groups present within the other groups specified, unless otherwise stated, may comprise 3 to 10 carbon atoms, while each methylene group may be substituted by up to 2 fluorine atoms, and

all the above-mentioned aromatic and heteroaromatic groups may additionally be mono-
15 di- or trisubstituted by fluorine, chlorine or bromine atoms, by cyano or hydroxy groups and the substituents may be identical or different,

or a tautomer or salt thereof..

20 2. A compound of the formula (I) according to claim 1, wherein

A, X, Y, Z, R² and R³ are defined as in claim 1 and

R¹ denotes a mono- or diunsaturated 5- to 7-membered aza, diaza, triaza or thiaza
25 heterocyclic group,

in which the above-mentioned heterocycles are linked via a carbon or nitrogen atom,

contain one or two carbonyl groups adjacent to a nitrogen atom,

30 may be substituted at a carbon atom by a phenyl, pyridinyl, diazinyl, thienyl,

pyrrolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl or 1-methylpyrazolyl group and

an olefinic double bond of one of the above-mentioned unsaturated heterocycles may be fused to a phenyl, naphthyl, pyridine, diazine, thienyl or quinoline ring or to a
5 1*H*-quinolin-2-one ring optionally substituted at the nitrogen atom by a methyl group,

while the phenyl, pyridinyl, diazinyl, thienyl, pyrrolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl or 1-methylpyrazolyl groups contained in R¹ as well as the benzo-,
10 pyrido- and diazino-fused heterocycles in the carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by alkyl, alkoxy, nitro, difluoromethyl, trifluoromethyl, hydroxy, amino, alkylamino, dialkylamino, acetylamino, acetyl, cyano, difluoromethoxy or trifluoromethoxy groups, while the substituents may be identical or different,

15 while the above-mentioned alkyl groups or the alkyl groups contained in the above-mentioned groups, unless otherwise stated, contain 1 to 7 carbon atoms and may be branched or unbranched, while each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms, and
20 the above-mentioned aromatic and heteroaromatic groups may additionally be mono- di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

25 or a tautomer or salt thereof.

3. A compound of the formula (I) according to claim 1, wherein

A, X, Y, Z, R² and R³ are defined as in claim 1 and

30 R¹ denotes a monounsaturated 5- to 7-membered diaza or triaza heterocyclic group,

while the above-mentioned heterocycles are linked via a nitrogen atom,

contain a carbonyl group adjacent to a nitrogen atom,

5

may additionally be substituted at a carbon atom by a phenyl group and

an olefinic double bond of one of the above-mentioned unsaturated heterocycles may be fused to a phenyl, thienyl or quinoline ring,

10

while the phenyl groups contained in R¹ as well as benzo-fused heterocycles in the carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by methyl, methoxy, nitro, difluoromethyl, trifluoromethyl, hydroxy, amino, alkylamino, dialkylamino, acetylamino, acetyl, cyano, difluoromethoxy or trifluoromethoxy groups, while the substituents may be identical or different, but are preferably unsubstituted, or monosubstituted by a fluorine, chlorine or bromine atom or by a methyl or methoxy group,

15

while, unless otherwise stated, all the above-mentioned alkyl groups as well as the alkyl groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the above-mentioned aromatic and heteroaromatic groups may additionally be mono- di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

20

25 or a tautomer or salt thereof.

4. A compound of the formula (I) according to claim 1, wherein

A, X, Y, Z, R² and R³ are defined as in claim 1 and

30

R¹ denotes a 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl, 4-(2-

oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl, 4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-4*H*-thieno[3,4-*d*]pyrimidin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2*H*-thieno[3,2-*d*]pyrimidin-3-yl)-piperidin-1-yl, 4-(5-oxo-4,5,7,8-tetrahydro-2-thia-4,6-diaza-azulen-6-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[3,2-*d*]-1,3-diazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[2,3-*d*]-1,3-diazepin-3-yl)-piperidin-1-yl or 4-(2-oxo-1,4-dihydro-2*H*-thieno[2,3-*d*]pyrimidin-3-yl)-piperidin-1-yl group,

10 while the above-mentioned mono- and bicyclic heterocycles in the carbon skeleton may additionally be monosubstituted by a methoxy group,

while the above-mentioned aromatic and heteroaromatic groups by fluorine, chlorine or bromine atoms, by cyano or hydroxy groups may additionally be mono- di- or
15 trisubstituted and the substituents may be identical or different,

or a tautomer or salt thereof.

5. A compound of the formula (I) according to claim 1, wherein
20

A, X, Y, Z and R¹ are defined as in claim 1 and

R² denotes the hydrogen atom or

25 a phenylmethyl group or a C₂₋₇-alkyl group which may be substituted in the ω position by a phenyl, pyridinyl, hydroxy, amino, alkylamino, dialkylamino, carboxy, alkoxycarbonyl, aminocarbonyl, aminocarbonylamino, acetylamino, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, [bis-(2-hydroxyethyl)]amino group

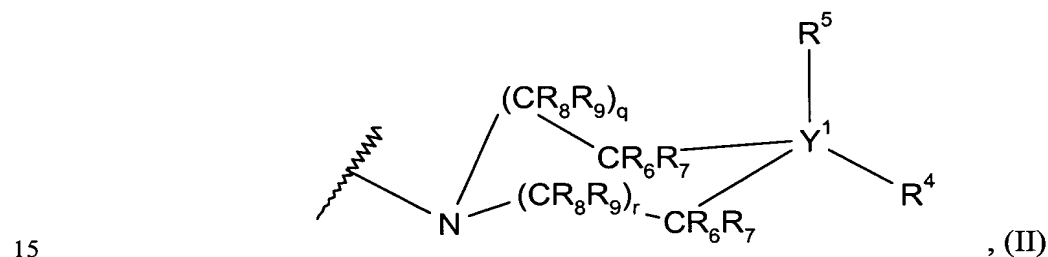
30 while the above-mentioned heterocyclic groups and phenyl groups may additionally be mono-, di- or trisubstituted in the carbon skeleton by fluorine, chlorine, bromine

or iodine atoms, by methyl, alkoxy, difluoromethyl, trifluoromethyl, hydroxy, amino, C₁₋₃-alkylamino, di-(C₁₋₃-alkyl)-amino, acetylamino, aminocarbonyl, cyano, difluoromethoxy, trifluoromethoxy, amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl groups and the substituents may be identical or
5 different,

R³ denotes the hydrogen atom or a C₁₋₃-alkyl group,

while the C₁₋₃-alkyl group may be linked to an alkyl group present in R² or a phenyl
10 or pyridyl ring present in R² and the nitrogen atom to which they are bound, forming a 5- to 7-membered ring, or

R² and R³ together with the enclosed nitrogen atom denote a group of general formula



wherein

Y¹ denotes the carbon atom or, if R⁵ denotes a pair of free electrons, it may also
20 denote the nitrogen atom,
q and r, if Y¹ denotes the carbon atom, represent the numbers 0 or 1 or,

q and r, if Y¹ denotes the nitrogen atom, represent the numbers 1 or 2,

25 R⁴ denotes the hydrogen atom, an amino, alkylamino or dialkylamino group,

or, if Y¹ does not denote the nitrogen atom, a dialkylaminomethyl group,

a phenyl, pyridinyl or diazinyl group which may be substituted in each case by a fluorine, chlorine or bromine atom or by a trifluoromethylcarbonyl, methyl or methoxy group,

5

a 4- to 7-membered azacycloalkyl group, a 6- to 7-membered oxaza or diazacycloalkyl group or a 7- to 9-membered azabicycloalkyl group,

10 while the above-mentioned mono- and bicyclic heterocycles are bound via a nitrogen or carbon atom,

in the above-mentioned mono- and bicyclic heterocycles any methylene group not directly bound to a nitrogen, oxygen or sulphur atom may be substituted by one or two fluorine atoms and

15

the above-mentioned mono- and bicyclic heterocycles may be substituted by a C₁₋₃-alkyl group, by a benzyl, C₃₋₆-cycloalkylalkyl, C₁₋₄-alkanoyl, di-(C₁₋₃-alkyl)-amino or C₁₋₃-alkylsulphonyl, by an alkoxycarbonyl, alkoxycarbonylalkyl, carboxy or carboxyalkyl group,

20

R⁵ denotes a hydrogen atom, a C₁₋₃-alkyl group or,

if Y¹ denotes a nitrogen atom, it may also denote a pair of free electrons,

25

R⁶ and R⁷, which may be identical or different, in each case denote a hydrogen atom or a C₁₋₃-alkyl or di-(C₁₋₃-alkyl)-amino group and

R⁸ and R⁹, which may be identical or different, in each case denote a hydrogen atom or a C₁₋₃-alkyl group,

30

while, unless otherwise stated, all the above-mentioned alkyl groups as well as the alkyl

groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the above-mentioned aromatic and heteroaromatic groups may additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

5

or a tautomer or salt thereof.

6. A compounds of the formula (I) according to claim 1, wherein

10 A, X, Y, Z and R^1 are defined as in claim 1 and

R^2 denotes a phenylmethyl group or a C_{2-7} -alkyl group which may be substituted in the ω position by a phenyl, amino, alkylamino or dialkylamino group,

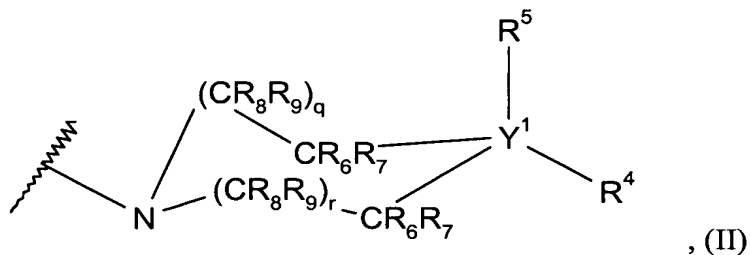
15 while the above-mentioned phenyl group may be substituted by an amino- C_{1-3} -alkyl, C_{1-3} -alkylamino- C_{1-3} -alkyl or di- $(C_{1-3}$ -alkyl)-amino- C_{1-3} -alkyl group, or

R^3 denotes the hydrogen atom or a C_{1-3} -alkyl group,

20 R^2 and R^3 together with the nitrogen atom to which they are bound denote a 7-dimethylaminomethyl-1,2,4,5-tetrahydro-3-benzazepin-3-yl group or

R^2 and R^3 together with the enclosed nitrogen atom denote a group of general formula

25



wherein

Y^1 denotes the carbon atom or, if R^5 denotes a pair of free electrons, it may also denote the nitrogen atom,

5 q and r, if Y^1 denotes the carbon atom, represent the numbers 0 or 1 or

q and r, if Y^1 denotes the nitrogen atom, represent the numbers 1 or 2,

R^4 denotes the hydrogen atom,

10

a phenyl or pyridinyl group which may be substituted in each case by a fluorine, chlorine or bromine atom, by a trifluoromethylcarbonyl, methyl or methoxy group,

15 a dimethylamino, diethylamino, perhydro-azepin-1-yl, 4-methyl-perhydro-1,4-diazepin-1-yl, 1-methyl-piperidin-4-yl, 1-ethylpiperidin-4-yl, piperazin-1-yl, 4-acetyl-piperazin-1-yl, 4-cyclopropylmethyl-piperazin-1-yl, pyrrolidin-1-yl, 4-ethyl-piperazin-1-yl, 4-isopropyl-piperazin-1-yl, piperidin-1-yl, piperidin-4-yl, morpholin-4-yl, 4,4-difluoro-piperidin-1-yl, 8-methyl-8-aza-bicyclo[3.2.1]oct-3-yl, pyridin-4-yl, 3-dimethylamino-piperidin-1-yl, 1-ethyl-piperidin-4-yl, 4-amino-piperidin-1-yl, 20 4-(dimethylamino)-piperidin-1-yl, 4-(diethylaminomethyl)-piperidin-1-yl, *p*-trifluoromethylcarbonyl-phenyl, 1-benzyl-piperidin-4-yl, 4-benzyl-piperazin-1-yl, azetidin-1-yl, 1-(methoxycarbonylmethyl)-piperidin-4-yl, 1-(ethoxycarbonylmethyl)-piperidin-4-yl, 4-(ethoxycarbonylmethyl)-piperazin-1-yl, 1-carboxymethyl-piperidin-4-yl, 4-carboxymethyl-piperazin-1-yl, 4-methylsulphonyl-piperazin-1-yl or 25 4-methyl-piperazin-1-yl group,

R^5 denotes a hydrogen atom or, if Y^1 denotes a nitrogen atom, it may also denote a pair of free electrons,

30 R^6 and R^7 in each case denote a hydrogen atom or a dimethylamino group and

R^8 and R^9 in each case denote the hydrogen atom,

while, unless otherwise stated, all the above-mentioned alkyl groups as well as the alkyl groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the above-mentioned aromatic and heteroaromatic groups may additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms, by cyano or hydroxy groups and the substituents may be identical or different,

or a tautomer or salt thereof.

10

7. A compound of the formula (I) according to claim 1, wherein

A denotes an oxygen atom, a cyanoimino or phenylsulphonylimino group,

15 X denotes an oxygen or sulphur atom, an imino group optionally substituted by a C_{1-6} -alkyl group or a methylene group optionally substituted by a C_{1-6} -alkyl group,

Y and Z independently of one another each denote a straight-chain or branched C_{1-6} -alkyl group wherein each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms,

20

while the above-mentioned alkyl groups together with the carbon atoms to which they bound may be joined to one another, forming a 4- to 8-membered ring,

25 R^1 denotes a monounsaturated 5- to 7-membered diaza or triaza heterocyclic group,

while the above-mentioned heterocycles are linked via a nitrogen atom,

contain a carbonyl group adjacent to a nitrogen atom,

30

may additionally be substituted at a carbon atom by a phenyl group and

an olefinic double bond of one of the above-mentioned unsaturated heterocycles may be fused to a phenyl, thienyl or quinoline ring,

5 while the phenyl groups contained in R^1 as well as benzo-fused heterocycles in the carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by methyl, methoxy, nitro, difluoromethyl, trifluoromethyl, hydroxy, amino, alkylamino, dialkylamino, acetylamino, acetyl, cyano, difluoromethoxy or trifluoromethoxy groups, while the substituents may
10 be identical or different, but are preferably unsubstituted or are monosubstituted by a fluorine, chlorine or bromine atom or by a methyl or methoxy group,

R^2 denotes the hydrogen atom or

15 a phenylmethyl group or a C_{2-7} -alkyl group which may be substituted in the ω position by a phenyl, pyridinyl, hydroxy, amino, alkylamino, dialkylamino, alkoxycarbonyl, carboxy, aminocarbonyl, aminocarbonylamino, acetylamino, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl or [bis-(2-hydroxyethyl)]amino group,

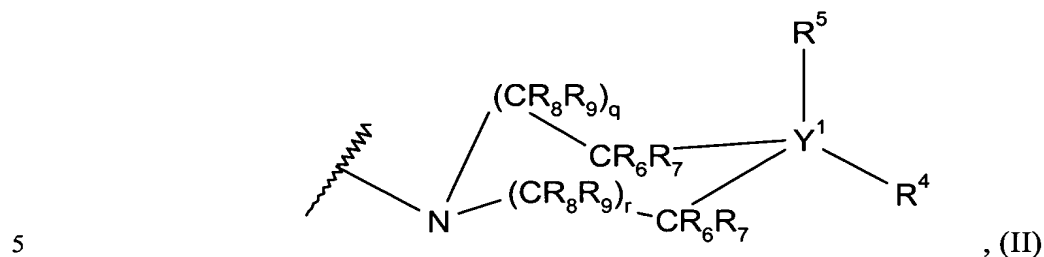
20 while the above-mentioned heterocyclic groups and phenyl groups may additionally be mono-, di- or trisubstituted in the carbon skeleton by fluorine, chlorine, bromine or iodine atoms, by methyl, alkoxy, difluoromethyl, trifluoromethyl, hydroxy, amino, C_{1-3} -alkylamino, di-(C_{1-3} -alkyl)-amino, acetylamino, aminocarbonyl, cyano, difluoromethoxy, trifluoromethoxy, amino- C_{1-3} -alkyl, C_{1-3} -alkylamino- C_{1-3} -alkyl or
25 di-(C_{1-3} -alkyl)-amino- C_{1-3} -alkyl groups and the substituents may be identical or different,

R^3 denotes the hydrogen atom or a C_{1-3} -alkyl group,

30 while the C_{1-3} -alkyl group may be linked to an alkyl group present in R^2 or a phenyl or pyridyl ring present in R^2 and to the nitrogen atom to which they are bound,

forming a 5- to 7-membered ring, or

R^2 and R^3 together with the enclosed nitrogen atom denote a group of general formula



wherein

10 Y^1 denotes the carbon atom or, if R^5 denotes a pair of free electrons, it may also denote the nitrogen atom,

q and r , if Y^1 denotes the carbon atom, represent the numbers 0 or 1 or

15 q and r , if Y^1 denotes the nitrogen atom, represent the numbers 1 or 2,

R^4 denotes the hydrogen atom, an amino, alkylamino or dialkylamino group,

or, if Y^1 does not denote the nitrogen atom, it denotes a dialkylaminomethyl group,

20 a phenyl, pyridinyl or diazinyl group which may be substituted in each case by a fluorine, chlorine or bromine atom, by a trifluoromethylcarbonyl, methyl or methoxy group,

25 a 4- to 7-membered azacycloalkyl group, a 6- to 7-membered oxaza or diazacycloalkyl group or a 7- to 9-membered azabicycloalkyl group,

while the above-mentioned mono- and bicyclic heterocycles are bound via a

nitrogen or carbon atom,

in the above-mentioned mono- and bicyclic heterocycles any methylene group not directly bound to a nitrogen, oxygen or sulphur atom may be substituted by one or two fluorine atoms,

the above-mentioned mono- and bicyclic heterocycles may be substituted by a C₁₋₃-alkyl group, by a benzyl, C₃₋₆-cycloalkylalkyl, C₁₋₄-alkanoyl, di-(C₁₋₃-alkyl)-amino or C₁₋₃-alkylsulphonyl, by an alkoxycarbonyl, alkoxycarbonylalkyl, carboxy or carboxyalkyl group,

R⁵ denotes a hydrogen atom, a C₁₋₃-alkyl group or,

if Y¹ denotes a nitrogen atom, it may also denote a pair of free electrons,

R⁶ and R⁷, which may be identical or different, in each case denote the hydrogen atom or a C₁₋₃-alkyl or di-(C₁₋₃-alkyl)-amino group and

R⁸ and R⁹, which may be identical or different, in each case denote the hydrogen atom or a C₁₋₃-alkyl group,

while, unless otherwise stated, the above-mentioned alkyl groups or the alkyl groups contained in the above-mentioned groups contain 1 to 7 carbon atoms and may be branched or unbranched and the above-mentioned aromatic and heteroaromatic groups may additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms, by cyano or hydroxy groups and the substituents may be identical or different,

or a tautomer or salt thereof.

8. A compound of the formula (I) according to claim 1, wherein

A denotes an oxygen atom, a cyanoimino or phenylsulphonylimino group,

X denotes an oxygen atom, an imino or methylene group and

5 Y and Z independently of one another each denote a straight-chain or branched C₁₋₄-alkyl group wherein each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms,

10 while the above-mentioned alkyl groups together with the carbon atoms to which they are bound may be joined to one another, forming a 5- to 7-membered ring,

R¹ denotes a 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl, 4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-4*H*-thieno[3,4-*d*]pyrimidin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2*H*-thieno[3,2-*d*]pyrimidin-3-yl)-piperidin-1-yl, 4-(5-oxo-4,5,7,8-tetrahydro-2-thia-4,6-diaza-azulen-6-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[3,2-*d*]-1,3-diazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[2,3-*d*]-1,3-diazepin-3-yl)-piperidin-1-yl or 4-(2-oxo-1,4-dihydro-2*H*-thieno[2,3-*d*]pyrimidin-3-yl)-piperidin-1-yl group,

while the above-mentioned mono- and bicyclic heterocycles in the carbon skeleton may additionally be monosubstituted by a methoxy group,

25 R² denotes a phenylmethyl group or a C₂₋₇-alkyl group which may be substituted in the ω position by a phenyl, amino, alkylamino or dialkylamino group,

while the above-mentioned phenyl group may be substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl group, or

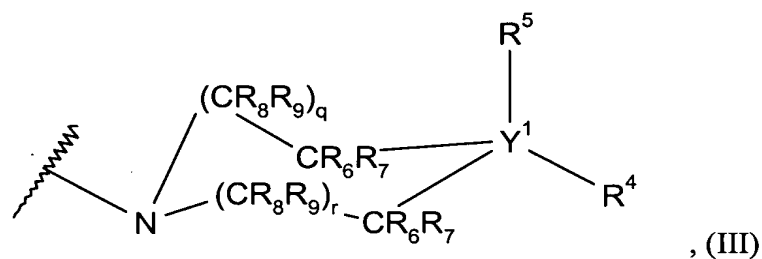
30

R^3 denotes the hydrogen atom or a C_{1-3} -alkyl group,

R^2 and R^3 together with the nitrogen atom to which they are bound denote a 7-dimethylaminomethyl-1,2,4,5-tetrahydro-3-benzazepin-3-yl group or

5

R^2 and R^3 together with the enclosed nitrogen atom denote a group of general formula



10 wherein

Y^1 represents the carbon atom or, if R^5 denotes a pair of free electrons, it may also denote the nitrogen atom,

15 q and r, if Y^1 denotes the carbon atom, represent the numbers 0 or 1 or

q and r, if Y^1 denotes the nitrogen atom, represent the numbers 1 or 2,

R^4 denotes the hydrogen atom,

20

a phenyl or pyridinyl group which may be substituted in each case by a fluorine, chlorine or bromine atom, by a trifluoromethylcarbonyl, methyl or methoxy group,

25

a dimethylamino, diethylamino, perhydro-azepin-1-yl, 4-methyl-perhydro-1,4-diazepin-1-yl, 1-methyl-piperidin-4-yl, 1-ethylpiperidin-4-yl, piperazin-1-yl, 4-acetyl-piperazin-1-yl, 4-cyclopropylmethyl-piperazin-1-yl, pyrrolidin-1-yl, 4-ethyl-piperazin-1-yl, 4-isopropyl-piperazin-1-yl, piperidin-1-yl, piperidin-4-yl, morpholin-

4-yl, 4,4-difluoro-piperidin-1-yl, 8-methyl-8-aza-bicyclo[3.2.1]oct-3-yl, pyridin-4-yl,
3-dimethylamino-piperidin-1-yl, 1-ethyl-piperidin-4-yl, 4-amino-piperidin-1-yl,
4-(dimethylamino)-piperidin-1-yl, 4-(diethylaminomethyl)-piperidin-1-yl,
p-trifluoromethylcarbonyl-phenyl, 1-benzyl-piperidin-4-yl, 4-benzyl-piperazin-1-yl,
5 azetidin-1-yl, 1-(methoxycarbonylmethyl)-piperidin-4-yl, 1-(ethoxycarbonylmethyl)-
piperidin-4-yl, 4-(ethoxycarbonylmethyl)-piperazin-1-yl, 1-carboxymethyl-
piperidin-4-yl, 4-carboxymethyl-piperazin-1-yl, 4-methylsulphonyl-piperazin-1-yl or
4-methyl-piperazin-1-yl group,

10 R^5 denotes a hydrogen atom or, if Y^1 denotes a nitrogen atom, it may also denote a
pair of free electrons,

R^6 and R^7 in each case denote a hydrogen atom or a dimethylamino group and

15 R^8 and R^9 in each case denote the hydrogen atom,

while, unless otherwise stated, all the above-mentioned alkyl groups as well as the alkyl
groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-
chain or branched and the above-mentioned aromatic and heteroaromatic groups may
20 additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms or by
cyano or hydroxy groups and the substituents may be identical or different,

or a salt thereof.

25 9. A compound of the formula (I) according to claim 1, wherein

A denotes an oxygen atom or a cyanoimino group,

X denotes an oxygen atom, an imino or methylene group and

30

Y and Z independently of one another each denote a methyl or ethyl group wherein each

methylene group may be substituted by up to 2 fluorine atoms and the methyl group may be substituted by up to 3 fluorine atoms,

5 while the above-mentioned methyl and ethyl groups together with the carbon atoms to which they are bound may be joined to one another, forming a 5- to 6-membered ring,

R¹ denotes a 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl, 4-(5-oxo-3-phenyl-4,5-dihydro-10 1,2,4-triazol-1-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-4*H*-thieno[3,4-*d*]pyrimidin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2*H*-thieno[3,2-*d*]pyrimidin-3-yl)-piperidin-1-yl, 4-(5-oxo-4,5,7,8-tetrahydro-2-thia-4,6-diaza-azulen-6-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[3,2-*d*]-1,3-diazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[2,3-15 *d*]-1,3-diazepin-3-yl)-piperidin-1-yl or 4-(2-oxo-1,4-dihydro-2*H*-thieno[2,3-*d*]pyrimidin-3-yl)-piperidin-1-yl group,

while the above-mentioned mono- and bicyclic heterocycles may additionally be monosubstituted in the carbon skeleton by a methoxy group,

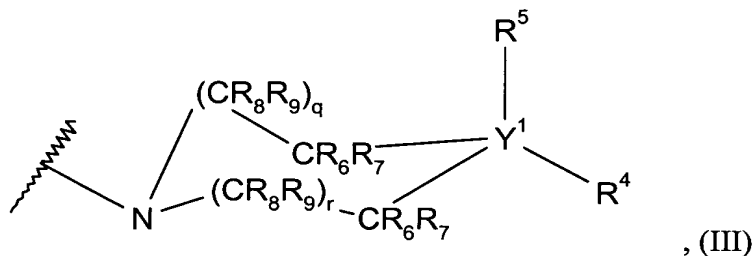
20 R² denotes a phenylmethyl group or a C₂₋₇-alkyl group which may be substituted in the ω position by a phenyl, amino, alkylamino or dialkylamino group,

while the above-mentioned phenyl group may be substituted by an amino-C₁₋₃-alkyl, 25 C₁₋₃-alkylamino-C₁₋₃-alkyl or di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl group, or

R³ denotes the hydrogen atom or a C₁₋₃-alkyl group,

R² and R³ together with the nitrogen atom to which they are bound denote a 30 7-dimethylaminomethyl-1,2,4,5-tetrahydro-3-benzazepin-3-yl group or

R^2 and R^3 together with the enclosed nitrogen atom denote a group of general formula



5 wherein

Y^1 represents the carbon atom or, if R^5 denotes a pair of free electrons, it may also denote the nitrogen atom,

10 q and r, if Y^1 denotes the carbon atom, represent the numbers 0 or 1 or

q and r, if Y^1 denotes the nitrogen atom, represent the numbers 1 or 2,

R^4 denotes the hydrogen atom,

15

a phenyl or pyridinyl group which may be substituted in each case by a fluorine, chlorine or bromine atom, by a trifluoromethylcarbonyl, methyl or methoxy group,

a dimethylamino, diethylamino, perhydro-azepin-1-yl, 4-methyl-perhydro-1,4-diazepin-1-yl, 1-methyl-piperidin-4-yl, 1-ethyl-piperidin-4-yl, piperazin-1-yl, 4-acetyl-piperazin-1-yl, 4-cyclopropylmethyl-piperazin-1-yl, pyrrolidin-1-yl, 4-ethyl-piperazin-1-yl, 4-isopropyl-piperazin-1-yl, piperidin-1-yl, piperidin-4-yl, 4-morpholin-4-yl, 4,4-difluoro-1-piperidin-1-yl, 8-methyl-8-aza-bicyclo[3.2.1]oct-3-yl, pyridin-4-yl, 3-dimethylamino-piperidin-1-yl, 1-ethyl-piperidin-4-yl, 4-amino-piperidin-1-yl, 4-(dimethylamino)-piperidin-1-yl, 4-(diethylaminomethyl)-piperidin-1-yl, *p*-trifluoromethylcarbonyl-phenyl, 1-benzyl-piperidin-4-yl, 4-benzyl-piperazin-1-yl, azetidin-1-yl, 1-(methoxycarbonylmethyl)-piperidin-4-yl, 1-(ethoxycarbonyl-

20

25

methyl)-piperidin-4-yl, 4-(ethoxycarbonylmethyl)-piperazin-1-yl, 1-carboxymethyl-piperidin-4-yl, 4-carboxymethyl-piperazin-1-yl, 4-methylsulphonyl-piperazin-1-yl or 4-methyl-piperazin-1-yl group,

5 R^5 denotes a hydrogen atom or, if Y^1 denotes a nitrogen atom, it may also denote a pair of free electrons,

R^6 and R^7 in each case denote a hydrogen atom or a dimethylamino group and

10 R^8 and R^9 in each case denote the hydrogen atom,

while, unless otherwise stated, all the above-mentioned alkyl groups as well as the alkyl groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the above-mentioned aromatic and heteroaromatic groups may
15 additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

or a salt thereof.

20 10. A compound selected from the group consisting of:

(1) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
 {(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-
 ethyl}-amide,

25 (2) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
 {(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-
 ethyl}-amide,

30 (3) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
 [1-(3,4-diethyl-benzyl)-2-(1'-methyl-4,4'-bipiperidinyl-1-yl)-2-oxo-ethyl]-amide,

- (4) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[1-(3,4-diethyl-benzyl)-2-oxo-2-(3,4,5,6-tetrahydro-2*H*-4,4'-bipyridinyl-1-yl)-
ethyl]-amide,
5
- (5) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[2-1,4'-bipiperidinyl-1'-yl-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-amide,
- (6) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
10 [1-(3,4-diethyl-benzyl)-2-oxo-2-(4-pyridin-4-yl-piperazin-1-yl)-ethyl]-amide,
- (7) 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidine-1-carboxylic acid-{1-(3,4-
diethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-
amide,
15
- (8) 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidine-1-carboxylic acid-{1-(3,4-
diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-
amide,
- 20 (9) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-(4-dimethylamino-piperidin-1-yl)-2-oxo-ethyl]-
amide,
- (10) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
25 [(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(4-perhydro-azepin-1-yl-piperidin-1-yl)-
ethyl]-amide,
- (11) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(4-methyl-perhydro-1,4-diazepin-1-yl)-piperidin-
30 1-yl]-2-oxo-ethyl}-amide,

- (12) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-(1'-methyl-4,4'-bipiperidinyl-1-yl)-2-oxo-ethyl]-
amide,
- 5 (13) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(8-methyl-8-aza-bicyclo[3.2.1]oct-3-yl)-
piperazin-1-yl]-2-oxo-ethyl}-amide,
- (14) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
10 [(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-ethyl]-
amide,
- (15) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(4-acetyl-piperazin-1-yl)-piperidin-1-yl]-1-(3,4-diethyl-benzyl)-2-oxo-
15 ethyl]-amide,
- (16) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-(3-dimethylamino-piperidin-1-yl)-2-oxo-ethyl]-
amide,
- 20 (17) 4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-
ethyl}-amide,
- 25 (18) 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidine-1-carboxylic acid-{(*R*)-1-
(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-
amide,
- (19) 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carboxylic acid-
30 {(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-
ethyl}-amide,

- (20) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(4-cyclopropylmethyl-piperazin-1-yl)-piperidin-1-yl]-1-(3,4-diethyl-
benzyl)-2-oxo-ethyl]-amide,
- 5
- (21) 4-(2-oxo-1,2-dihydro-4*H*-thieno[3,4-*d*]pyrimidin-3-yl)-piperidine-1-carboxylic
acid- {(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-
oxo-ethyl}-amide,
- 10
- (22) 4-(2-oxo-1,4-dihydro-2*H*-thieno[3,2-*d*]pyrimidin-3-yl)-piperidine-1-carboxylic
acid- {(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-
oxo-ethyl}-amide,
- 15
- (23) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(4-pyrrolidin-1-yl-piperidin-1-yl)-ethyl]-
amide,
- 20
- (24) 4-(5-oxo-4,5,7,8-tetrahydro-2-thia-4,6-diaza-azulen-6-yl)-piperidine-1-carboxylic
acid- {(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-
oxo-ethyl}-amide,
- 25
- (25) 4-(2-oxo-1,2,4,5-tetrahydro-thieno[3,2-*d*]-1,3-diazepin-3-yl)-piperidine-1-
carboxylic acid- {(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-
piperazin-1-yl]-2-oxo-ethyl}-amide,
- 30
- (26) 4-(2-oxo-1,2,4,5-tetrahydro-thieno[2,3-*d*]-1,3-diazepin-3-yl)-piperidine-1-
carboxylic acid- {(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-
piperazin-1-yl]-2-oxo-ethyl}-amide,
- (27) 4-(2-oxo-1,4-dihydro-2*H*-thieno[2,3-*d*]pyrimidin-3-yl)-piperidine-1-carboxylic
acid- {(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-

oxo-ethyl}-amide,

- (28) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
 {(R)-1-(3,4-diethyl-benzyl)-2-[4-(4-ethyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-
 5 ethyl}-amide,
- (29) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
 {(R)-1-(3,4-diethyl-benzyl)-2-[4-(4-isopropyl-piperazin-1-yl)-piperidin-1-yl]-2-
 oxo-ethyl}-amide,
- 10 (30) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
 [(R)-2-1,4'-bipiperidinyl-1'-yl-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-amide,
- (31) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
 15 [(R)-1-(3,4-diethyl-benzyl)-2-oxo-2-(4-pyridin-4-yl-piperazin-1-yl)-ethyl]-amide,
- (32) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
 [(R)-1-(3,4-diethyl-benzyl)-2-oxo-2-(3,4,5,6-tetrahydro-2H-4,4'-bipyridinyl-1-yl)-
 ethyl]-amide,
- 20 (33) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
 [(R)-1-(3,4-diethyl-benzyl)-2-(4,4-difluoro-1,4'-bipiperidinyl-1'-yl)-2-oxo-ethyl]-
 amide,
- 25 (34) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
 [(R)-1-(3,4-diethyl-benzyl)-2-(4-morpholin-4-yl-piperidin-1-yl)-2-oxo-ethyl]-
 amide,
- (35) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
 30 {(R)-1-(3,4-diethyl-benzyl)-2-[4-(1-ethyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-
 ethyl}-amide,

- (36) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-(4-diethylaminomethyl-piperidin-1-yl)-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-
amide,
- 5
- (37) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-[1,4]diazepan-1-yl]-2-
oxo-ethyl}-amide,
- 10
- (38) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-diethyl-benzyl)-2-[3-(4-methyl-piperazin-1-yl)-azetidin-1-yl]-2-oxo-
ethyl}-amide,
- 15
- (39) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(3-piperidin-1-yl-azetidin-1-yl)-ethyl]-amide,
- 20
- (40) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(3-pyrrolidin-1-yl-azetidin-1-yl)-ethyl]-
amide,
- 25
- (41) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-(3-diethylamino-azetidin-1-yl)-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-amide,
- 30
- (42) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
((*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-{4-[4-(2,2,2-trifluoro-acetyl)-phenyl]-piperaza-
zin-1-yl}-ethyl)-amide,
- (43) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(4-piperidin-4-yl-piperazin-1-yl)-ethyl]-
amide,

- (44) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3-aminomethyl-benzylcarbamoyl)-2-(3,4-diethyl-phenyl)-ethyl]-amide,
- (45) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
5 [(*R*)-1-(5-amino-pentylcarbamoyl)-2-(3,4-diethyl-phenyl)-ethyl]-amide,
- (46) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(4-amino-butylcarbamoyl)-2-(3,4-diethyl-phenyl)-ethyl]-amide,
- 10 (47) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-(3,4-diethyl-phenyl)-1-(5-methylamino-pentylcarbamoyl)-ethyl]-amide,
- (48) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
15 naphthalen-2-ylmethyl)-ethyl]-amide,
- (49) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,
- 20 (50) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(1-benzyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,
- 25 (51) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(4-benzyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,
- (52) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
30 [(*R*)-2-oxo-2-(4-piperidin-4-yl-piperazin-1-yl)-1-(5,6,7,8-tetrahydro-naphthalen-2-
ylmethyl)-ethyl]-amide,

- (53) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(R)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-1-(5,6,7,8-tetrahydro-naphthalen-2-
ylmethyl)-ethyl]-amide,
- 5
- (54) 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carboxylic acid-
[(R)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,
- 10
- (55) 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carboxylic acid-
[(R)-2-[4-(4-benzyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,
- 15
- (56) 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carboxylic acid-
[(R)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-1-(5,6,7,8-tetrahydro-naphthalen-2-
ylmethyl)-ethyl]-amide,
- 20
- (57) 4-(5-oxo-3-phenyl-4,5-dihydro-[1,2,4]triazol-1-yl)-piperidine-1-carboxylic acid-
[(R)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,
- 25
- (58) 4-(5-oxo-3-phenyl-4,5-dihydro-[1,2,4]triazol-1-yl)-piperidine-1-carboxylic acid-
[(R)-2-[4-(4-benzyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,
- (59) 4-(5-oxo-3-phenyl-4,5-dihydro-[1,2,4]triazol-1-yl)-piperidine-1-carboxylic acid-
[(R)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-1-(5,6,7,8-tetrahydro-naphthalen-2-
ylmethyl)-ethyl]-amide,

- (60) (*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylate,
- 5 (61) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-[(*R*)-1-(3,4-diethyl-benzyl)-2-(7-dimethylaminomethyl-1,2,4,5-tetrahydro-3-benzazepin-3-yl)-2-oxo-ethyl]-amide,
- (62) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-10 [(*R*)-2-(4-azetidin-1-yl-piperidin-1-yl)-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-amide,
- (63) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-[(*R*)-2-(3-azepan-1-yl-azetidin-1-yl)-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-amide,
- 15 (64) ethyl [1'-((*R*)-3-(3,4-diethyl-phenyl)-2-{[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-[4,4']bipiperidinyl-1-yl]-acetate,
- (65) ethyl {4-[1'-((*R*)-3-(3,4-diethyl-phenyl)-2-{[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-20 piperazin-1-yl}-acetate,
- (66) [1'-((*R*)-3-(3,4-diethyl-phenyl)-2-{[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-25 [4,4']bipiperidinyl-1-yl]-acetic acid,
- (67) {4-[1'-((*R*)-3-(3,4-diethyl-phenyl)-2-{[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-piperazin-1-yl}-30 acetic acid,

- (68) ethyl {4-[1-((*R*)-3-(3,4-diethyl-phenyl)-2-{[4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-piperazin-1-yl}-acetate,
- 5 (69) ethyl [1'-((*R*)-3-(3,4-diethyl-phenyl)-2-{[4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-[4,4']bipiperidiny-1-yl]-acetate,
- (70) {4-[1-((*R*)-3-(3,4-diethyl-phenyl)-2-{[4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-piperazin-1-yl}-acetic acid,
- 10
- (71) [1'-((*R*)-3-(3,4-diethyl-phenyl)-2-{[4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-[4,4']bipiperidiny-1-yl]-acetic acid,
- 15
- (72) 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carboxylic acid-{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,
- 20
- (73) *N*-[1-{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethylamino}-1-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-meth-(*Z*)-ylidene]-cyanamide,
- (74) *N*-[1-{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethylamino}-1-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-meth-(*Z*)-ylidene]-cyanamide,
- 25
- (75) *N*-[1-[(*R*)-2-[1,4']bipiperidiny-1'-yl-1-(3,4-diethyl-benzyl)-2-oxo-ethylamino]-1-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-meth-(*Z*)-ylidene]-cyanamide,
- 30

- (76) 1-[1,4']bipiperidiny-1'-yl-2-(3,4-dimethyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- 5 (77) 2-(3,4-dimethyl-benzyl)-1-(1'-methyl-[4,4']bipiperidiny-1-yl)-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (78) 2-(3,4-dimethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- 10 (79) 2-(3,4-dimethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (80) 2-(3,4-dimethyl-benzyl)-1-[4-(4-ethyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- 15 (81) 2-(3,4-dimethyl-benzyl)-1-[4-(4-isopropyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (82) 2-(3,4-dimethyl-benzyl)-1-[4-(4-methanesulphonyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- 20 (83) 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidine-1-carboxylic acid-{1-(3,4-dimethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,
- 25 (84) 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidine-1-carboxylic acid-{1-(3,4-dimethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,
- 30

- (85) 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidine-1-carboxylic acid-[1-(3,4-dimethyl-benzyl)-2-(1'-methyl-[4,4']bipiperidinyl-1-yl)-2-oxo-ethyl]-amide,
- (86) 2-(3,4-diethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (87) 2-(3,4-diethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (88) methyl {1'-[4-oxo-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-2-(5,6,7,8-tetrahydro-naphthalen-2-ylmethyl)-butyryl]-[4,4']bipiperidinyl-1-yl}-acetate,
- (89) {1'-[4-oxo-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-2-(5,6,7,8-tetrahydro-naphthalen-2-ylmethyl)-butyryl]-[4,4']bipiperidinyl-1-yl}-acetic acid,
- (90) methyl (1'-{2-indan-5-ylmethyl-4-oxo-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetate,
- (91) ((1'-{2-indan-5-ylmethyl-4-oxo-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetic acid,
- (92) 1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-2-(5,6,7,8-tetrahydro-naphthalen-2-ylmethyl)-butan-1,4-dione,
- (93) 1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-2-(5,6,7,8-tetrahydro-naphthalen-2-ylmethyl)-butan-1,4-dione,

- (94) 2-indan-5-ylmethyl-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (95) 2-indan-5-ylmethyl-1-(1'-methyl-[4,4']bipiperidiny-1-yl)-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (96) 1-(1'-methyl-[4,4']bipiperidiny-1-yl)-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-2-(5,5,8,8-tetramethyl-5,6,7,8-tetrahydro-naphthalen-2-ylmethyl)-butan-1,4-dione,
- (97) 1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-2-(5,5,8,8-tetramethyl-5,6,7,8-tetrahydro-naphthalen-2-ylmethyl)-butan-1,4-dione,
- (98) 1-[1,4']bipiperidiny-1'-yl-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-2-(5,5,8,8-tetramethyl-5,6,7,8-tetrahydro-naphthalen-2-ylmethyl)-butan-1,4-dione,
- (99) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-bis-pentafluorethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,
- (100) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid
{(*R*)-1-(3-ethyl-4-methyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,
- (101) (*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-ethyl 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylate,

- (102) (*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-ethyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylate,
- 5 (103) (*R*)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-1-(5,6,7,8-tetrahydro-naphthalen-2-ylmethyl)-ethyl 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylate,
- (104) (*S*)-2-(3,4-diethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-piperazin-1-yl-piperidin-1-yl)-butan-1,4-dione,
- 10 (105) (*S*)-2-(3,4-diethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-piperidin-4-yl-piperazin-1-yl)-butan-1,4-dione,
- (106) (*S*)-2-(3,4-diethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- 15 (107) (*S*)-2-(3,4-diethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- 20 (108) (*S*)-1-[1,4']bipiperidiny-1'-yl-2-(3,4-diethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (109) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
- 25 {(*R*)-1-(3,4-bis-trifluoromethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,
- (110) (*S*)-2-(3,4-bis-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-
- 30 1,4-dione,

- (111) (*R*)-1-(3,4-bis-trifluoromethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylate,
- 5 (112) (*S*)-2-(3,4-diethyl-benzyl)-1-(4-dimethylamino-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (113) (*R*)-1-(3,4-diethyl-benzyl)-2-(4-dimethylamino-piperidin-1-yl)-2-oxo-ethyl 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylate,
- 10 (114) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-[(*R*)-2-(4-amino-piperidin-1-yl)-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-amide,
- (115) 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidine-1-carboxylic acid-[2-
- 15 [1,4']bipiperidinyl-1'-yl-1-(3,4-dimethyl-benzyl)-2-oxo-ethyl]-amide,
- (116) (*S*)-2-(3,4-diethyl-benzyl)-1-(1'-methyl-4,4'-bipiperidinyl-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- 20 (117) (*S*)-1-4,4'-bipiperidinyl-1-yl-2-(3,4-diethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (118) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-[(*R*)-1-(4-ethyl-3-trifluoromethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-
- 25 piperidin-1-yl]-2-oxo-ethyl]-amide,
- (119) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-[(*R*)-1-(4-ethyl-3-trifluoromethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl]-amide,
- 30

- (120) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(4-ethyl-3-trifluoromethyl-benzyl)-2-(1'-methyl-4,4'-bipiperidinyl-1-yl)-2-
oxo-ethyl]-amide,
- 5 (121) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-1,4'-bipiperidinyl-1'-yl-1-(4-ethyl-3-trifluoromethyl-benzyl)-2-oxo-ethyl]-
amide,
- (122) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
10 [(*R*)-2-(4-dimethylamino-piperidin-1-yl)-1-(4-ethyl-3-trifluoromethyl-benzyl)-2-
oxo-ethyl]-amide,
- (123) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3-ethyl-4-trifluoromethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-
15 piperidin-1-yl]-2-oxo-ethyl}-amide,
- (124) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3-ethyl-4-trifluoromethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-
piperazin-1-yl]-2-oxo-ethyl}-amide,
- 20 (125) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3-ethyl-4-trifluoromethyl-benzyl)-2-(1'-methyl-4,4'-bipiperidinyl-1-yl)-2-
oxo-ethyl]-amide,
- 25 (126) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-1,4'-bipiperidinyl-1'-yl-1-(3-ethyl-4-trifluoromethyl-benzyl)-2-oxo-ethyl]-
amide, and
- (127) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
30 [(*R*)-2-(4-dimethylamino-piperidin-1-yl)-1-(3-ethyl-4-trifluoromethyl-benzyl)-2-
oxo-ethyl]-amide,

or a salt thereof.

11. A compound selected from the group consisting of:

5

(1) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(R)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-
ethyl}-amide,

10 (2) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(R)-1-(3,4-diethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-
ethyl}-amide,

(3) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
15 [1-(3,4-diethyl-benzyl)-2-(1'-methyl-4,4'-bipiperidiny-1-yl)-2-oxo-ethyl]-amide,

(4) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[2-1,4'-bipiperidiny-1'-yl-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-amide,

20 (5) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[1-(3,4-diethyl-benzyl)-2-oxo-2-(4-pyridine-4-yl-piperazin-1-yl)-ethyl]-amide,

(6) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidine-1-carboxylic acid-{1-(3,4-
diethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-
25 amide,

(7) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidine-1-carboxylic acid-{1-(3,4-
diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-
amide,

30

(8) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-

[(*R*)-1-(3,4-diethyl-benzyl)-2-(4-dimethylamino-piperidin-1-yl)-2-oxo-ethyl]-amide,

- 5 (9) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(4-perhydro-azepin-1-yl-piperidin-1-yl)-ethyl]-amide,
- 10 (10) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(4-methyl-perhydro-1,4-diazepin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,
- 15 (11) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-(1'-methyl-4,4'-bipiperidiny1-1-yl)-2-oxo-ethyl]-amide,
- (12) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(8-methyl-8-aza-bicyclo[3.2.1]oct-3-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,
- 20 (13) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-ethyl]-amide,
- 25 (14) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(4-acetyl-piperazin-1-yl)-piperidin-1-yl]-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-amide,
- 30 (15) 4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,

- (16) 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidine-1-carboxylic acid-{{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,
- 5 (17) 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carboxylic acid-{{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,
- 10 (18) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-[(*R*)-2-[4-(4-cyclopropylmethyl-piperazin-1-yl)-piperidin-1-yl]-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-amide,
- 15 (19) 4-(2-oxo-1,2-dihydro-4*H*-thieno[3,4-*d*]pyrimidin-3-yl)-piperidine-1-carboxylic acid-{{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,
- 20 (20) 4-(2-oxo-1,4-dihydro-2*H*-thieno[3,2-*d*]pyrimidin-3-yl)-piperidine-1-carboxylic acid-{{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,
- (21) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-[(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(4-pyrrolidin-1-yl-piperidin-1-yl)-ethyl]-amide,
- 25 (22) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-{{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(4-ethyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,
- 30 (23) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-{{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(4-isopropyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,

- (24) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-1,4'-bipiperidiny-1'-yl-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-amide,
- 5 (25) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(4-pyridine-4-yl-piperazin-1-yl)-ethyl]-
amide,
- (26) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
10 [(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(3,4,5,6-tetrahydro-2*H*-4,4'-bipyridiny-1-yl)-
ethyl]-amide,
- (27) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-(4-morpholin-4-yl-piperidin-1-yl)-2-oxo-ethyl]-
15 amide,
- (28) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-ethyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-
ethyl}-amide,
- 20 (29) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-(4-diethylaminomethyl-piperidin-1-yl)-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-
amide,
- 25 (30) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-[1,4]diazepan-1-yl]-2-
oxo-ethyl}-amide,
- (31) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
30 {(*R*)-1-(3,4-diethyl-benzyl)-2-[3-(4-methyl-piperazin-1-yl)-azetidin-1-yl]-2-oxo-
ethyl}-amide,

- (32) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-1-(3,4-diethyl-benzyl)-2-oxo-2-(4-piperidin-4-yl-piperazin-1-yl)-ethyl]-
amide,
- 5
- (33) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,
- 10
- (34) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,
- 15
- (35) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-oxo-2-(4-piperidin-4-yl-piperazin-1-yl)-1-(5,6,7,8-tetrahydro-naphthalen-2-
ylmethyl)-ethyl]-amide,
- 20
- (36) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-1-(5,6,7,8-tetrahydro-naphthalen-2-
ylmethyl)-ethyl]-amide,
- 25
- (37) 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,
- (38) 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(4-benzyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,

- (39) 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-1-(5,6,7,8-tetrahydro-naphthalen-2-
ylmethyl)-ethyl]-amide,
- 5 (40) 4-(5-oxo-3-phenyl-4,5-dihydro-[1,2,4]triazol-1-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-1-(5,6,7,8-tetrahydro-
naphthalen-2-ylmethyl)-ethyl]-amide,
- (41) 4-(5-oxo-3-phenyl-4,5-dihydro-[1,2,4]triazol-1-yl)-piperidine-1-carboxylic acid-
10 [(*R*)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-1-(5,6,7,8-tetrahydro-naphthalen-2-
ylmethyl)-ethyl]-amide,
- (42) (*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-
ethyl 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-
15 carboxylate,
- (43) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidine-1-carboxylic acid-
[(*R*)-2-(4-azetidin-1-yl-piperidin-1-yl)-1-(3,4-diethyl-benzyl)-2-oxo-ethyl]-amide,
- 20 (44) {4-[1-((*R*)-3-(3,4-diethyl-phenyl)-2-{[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiaze-
pin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-piperazin-1-
yl}-acetic acid,
- (45) ethyl {4-[1-((*R*)-3-(3,4-diethyl-phenyl)-2-{[4-(2-oxo-1,2-dihydro-imidazo[4,5-
25 *c*]quinolin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-
piperazin-1-yl}-acetate,
- (46) ethyl [1'-((*R*)-3-(3,4-diethyl-phenyl)-2-{[4-(2-oxo-1,2-dihydro-imidazo[4,5-
30 *c*]quinolin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-[4,4']bipiperidinyl-1-
yl]-acetate,

- (47) {4-[1-((*R*)-3-(3,4-diethyl-phenyl)-2-{{4-(2-oxo-1,2-dihydro-imidazo[4,5-
c]quinolin-3-yl)-piperidine-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-
piperazin-1-yl}-acetic acid,
- 5 (48) 4-(2-oxo-1,2-dihydro-imidazo[4,5-*c*]quinolin-3-yl)-piperidine-1-carboxylic acid-
{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-
ethyl}-amide,
- (49) *N*-[1-{{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-
10 2-oxo-ethylamino}-1-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-
piperidin-1-yl]-meth-(*Z*)-ylidene]-cyanamide,
- (50) *N*-[1-{{(*R*)-1-(3,4-diethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-
2-oxo-ethylamino}-1-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-
15 piperidin-1-yl]-meth-(*Z*)-ylidene]-cyanamide,
- (51) *N*-[1-[(*R*)-2-[1,4']bipiperidiny-1'-yl-1-(3,4-diethyl-benzyl)-2-oxo-ethylamino]-1-
[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-meth-(*Z*)-
ylidene]-cyanamide,
- 20 (52) 2-(3,4-dimethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-
oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (53) 2-(3,4-dimethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-
25 oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (54) 2-(3,4-dimethyl-benzyl)-1-[4-(4-ethyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-
1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

- (55) 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidine-1-carboxylic acid-{1-(3,4-dimethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,
- 5 (56) 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidine-1-carboxylic acid-{1-(3,4-dimethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,
- (57) 4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidine-1-carboxylic acid-[1-(3,4-dimethyl-benzyl)-2-(1'-methyl-[4,4']bipiperidinyl-1-yl)-2-oxo-ethyl]-amide,
- 10 (58) 2-(3,4-diethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione, and
- (59) 2-(3,4-diethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2*H*-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- 15 or a salt thereof.
- 20 12. A physiologically acceptable salt of a compound according to claim 1, 2, 3, 4, 5, 6, 7, 8, 9, 10 or 11, formed with inorganic or organic acid or base.
13. A pharmaceutical composition containing a compound according to claim 1, 2, 3, 4, 5, 6, 7, 8, 9, 10 or 11, or a physiologically acceptable salt thereof, together with one or
- 25 more inert carriers and/or diluents.
14. A method for the acute or prophylactic treatment of headache, including migraine or cluster headaches, which comprises administering to a host prone to or currently suffering from the same a therapeutically effective amount of a compound according to
- 30 claim 1, 2, 3, 4, 5, 6, 7, 8, 9, 10 or 11, or a physiologically acceptable salt thereof,.

15. A method for treating non-insulin-dependent diabetes mellitus (NIDDM) which comprises administering to a host suffering from the same a therapeutically effective amount of a compound according to claim 1, 2, 3, 4, 5, 6, 7, 8, 9, 10 or 11, or a physiologically acceptable salt thereof.

5

16. A method for treating cardiovascular diseases, morphine tolerance, diarrhoea caused by clostridium toxin, skin diseases, particularly thermal and radiation-induced skin damage including sunburn, inflammatory diseases, e.g. particularly inflammatory diseases of the joints such as arthritis, neurogenic inflammation of the oral mucosa,
10 inflammatory lung diseases, allergic rhinitis, asthma, diseases accompanied by excessive vasodilatation and resultant reduced circulation of the blood, e.g. particularly shock and sepsis, for alleviating pain in general or for preventive or acute therapeutic treatment of the symptoms of hot flushes caused by vasodilatation and increased blood flow in menopausal oestrogen-deficient women and hormone-treated patients with prostate
15 carcinoma which comprises administering to a host suffering from the same a therapeutic amount of a compound according to claim 1, 2, 3, 4, 5, 6, 7, 8, 9, 10 or 11, or a physiologically acceptable salt thereof.